SEQUENCE LISTING

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CellGate, Inc.

<120> Compositions and Methods for Enhancing Drug Delivery Across and Into Ocular Tissues

<130> 019801-000240US

<140> US 10/083,960
<141> 2002-02-25

<150> US 60/150,510
<151> 1999-08-24

<150> US 09/648,400
<151> 2000-08-24

<150> US 09/792,480
<151> 2001-02-23

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1 5

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<220>
<223> R6 Arg homopolymer

<400> 2
Arg Arg Arg Arg Arg Arg
1 5

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<211> 7
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<220>
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<400> 3
Arg Arg Arg Arg Arg Arg Arg
1 5

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<220>
<223> R8 Arg homopolymer

<400> 4
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1 5

<210> 5
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<220>
<223> R9 Arg homopolymer

<400> 5
Arg Arg Arg Arg Arg Arg Arg Arg Arg
1 5

<210> 6
<211> 7
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<220>
<223> L-Arg heptamer after release of cyclosporine by
cleavage of the pH sensitive linker group

<221> MOD_RES
<222> (1)...(1)
<223> Xaa =
2-[4-benzyl-2,5-diketopiperazinyl]-acetyl-arginine

<400> 6
Xaa Arg Arg Arg Arg Arg Arg
1 5

<210> 7
<211> 10
<212> PRT
<213> Artificial Sequence

<220>
<223> unlabeled peptide

<221> MOD_RES
<222> (10)...(10)
<223> Xaa = cysteinamide

<400> 7
Arg Arg Arg Arg Arg Arg Gly Gly Xaa
1 5 10

<210> 8
<211> 10
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<220>
<223> analog of Tat-49-57

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = fluorescein conjugated aminohexanoic acid
(Fl-ahx)

<400> 8
Xaa Arg Lys Lys Arg Arg Gln Arg Arg Arg
1 5 10

<210> 9
<211> 9
<212> PRT
<213> Artificial Sequence

<220>
<223> Tat-49-56 truncated analog of Tat-49-57

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = fluorescein conjugated aminohexanoic acid
(Fl-ahx)

<400> 9
Xaa Arg Lys Lys Arg Arg Gln Arg Arg
1 5

<210> 10
<211> 8
<212> PRT
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<220>
<223> Tat-49-55 truncated analog of Tat-49-57

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = fluorescein conjugated aminohexanoic acid
(Fl-ahx)

<400> 10
Xaa Arg Lys Lys Arg Arg Gln Arg
1 5

<210> 11
<211> 9
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<213> Artificial Sequence

<220>
<223> Tat-50-57 truncated analog of Tat-49-57

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = fluorescein conjugated aminohexanoic acid
(Fl-ahx)

<400> 11
Xaa Lys Lys Arg Arg Gln Arg Arg Arg
1 5

<210> 12
<211> 8
<212> PRT
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<220>
<223> Tat-51-57 truncated analog of Tat-49-57

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = fluorescein conjugated aminohexanoic acid
(Fl-ahx)

<400> 12
Xaa Lys Arg Arg Gln Arg Arg Arg
1 5

<210> 13
<211> 10
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<220>
<223> A-49 alanine-substituted analog of Tat-49-57

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = fluorescein conjugated aminohexanoic acid
(Fl-ahx)

<400> 13
Xaa Ala Lys Lys Arg Arg Gln Arg Arg Arg
1 5 10

<210> 14
<211> 10
<212> PRT
<213> Artificial Sequence

<220>
<223> A-50 alanine-substituted analog of Tat-49-57

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = fluorescein conjugated aminohexanoic acid
(Fl-ahx)

<400> 14
Xaa Arg Ala Lys Arg Arg Gln Arg Arg Arg
1 5 10

<210> 15
<211> 10
<212> PRT
<213> Artificial Sequence

<220>
<223> A-51 alanine-substituted analog of Tat-49-57

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = fluorescein conjugated aminohexanoic acid
(Fl-ahx)

<400> 15
Xaa Arg Lys Ala Arg Arg Gln Arg Arg Arg
1 5 10

<210> 16
<211> 10
<212> PRT
<213> Artificial Sequence

<220>
<223> A-52 alanine-substituted analog of Tat-49-57

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = fluorescein conjugated aminohexanoic acid
(Fl-ahx)

<400> 16
Xaa Arg Lys Lys Ala Arg Gln Arg Arg Arg
1 5 10

<210> 17
<211> 10
<212> PRT
<213> Artificial Sequence

<220>
<223> A-53 alanine-substituted analog of Tat-49-57

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = fluorescein conjugated aminohexanoic acid
(Fl-ahx)

<400> 17
Xaa Arg Lys Lys Arg Ala Gln Arg Arg Arg
1 5 10

<210> 18
<211> 10
<212> PRT
<213> Artificial Sequence

<220>
<223> A-54 alanine-substituted analog of Tat-49-57

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = fluorescein conjugated aminohexanoic acid
(Fl-ahx)

<400> 18
Xaa Arg Lys Lys Arg Arg Ala Arg Arg Arg
1 5 10

<210> 19
<211> 10
<212> PRT
<213> Artificial Sequence

<220>
<223> A-55 alanine-substituted analog of Tat-49-57

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = fluorescein conjugated aminohexanoic acid
(Fl-ahx)

<400> 19
Xaa Arg Lys Lys Arg Arg Gln Ala Arg Arg
1 5 10

<210> 20
<211> 10
<212> PRT
<213> Artificial Sequence

<220>
<223> A-56 alanine-substituted analog of Tat-49-57

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = fluorescein conjugated aminohexanoic acid
(Fl-ahx)

<400> 20
Xaa Arg Lys Lys Arg Arg Gln Arg Ala Arg
1 5 10

<210> 21
<211> 10
<212> PRT
<213> Artificial Sequence

<220>
<223> A-57 alanine-substituted analog of Tat-49-57

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = fluorescein conjugated aminohexanoic acid
(Fl-ahx)

<400> 21
Xaa Arg Lys Lys Arg Arg Gln Arg Arg Ala
1 5 10

<210> 22
<211> 10
<212> PRT
<213> Artificial Sequence

<220>
<223> Tat-57-49 retro-isomer of Tat-49-57

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = fluorescein conjugated aminohexanoic acid
(Fl-ahx)

<400> 22
Xaa Arg Arg Arg Gln Arg Arg Lys Lys Arg
1 5 10

<210> 23
<211> 6
<212> PRT
<213> Artificial Sequence

<220>
<223> R5 Arg oligomer

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = fluorescein conjugated aminohexanoic acid
(Fl-ahx)

<400> 23
Xaa Arg Arg Arg Arg Arg
1 5

<210> 24
<211> 7
<212> PRT
<213> Artificial Sequence

<220>
<223> R6 Arg oligomer

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = fluorescein conjugated aminohexanoic acid
(Fl-ahx)

<400> 24
Xaa Arg Arg Arg Arg Arg Arg
1 5

<210> 25
<211> 8
<212> PRT
<213> Artificial Sequence

<220>
<223> R7 Arg oligomer

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = fluorescein conjugated aminohexanoic acid
(Fl-ahx)

<400> 25
Xaa Arg Arg Arg Arg Arg Arg Arg
1 5

<210> 26
<211> 9
<212> PRT
<213> Artificial Sequence

<220>
<223> R8 Arg oligomer

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = fluorescein conjugated aminohexanoic acid
(Fl-ahx)

<400> 26
Xaa Arg Arg Arg Arg Arg Arg Arg Arg
1 5

<210> 27
<211> 10
<212> PRT
<213> Artificial Sequence

<220>
<223> R9 Arg oligomer

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = fluorescein conjugated aminohexanoic acid
(Fl-ahx)

<400> 27
Xaa Arg Arg Arg Arg Arg Arg Arg Arg Arg
1 5 10

<210> 28
<211> 9
<212> PRT
<213> Artificial Sequence

<220>
<223> HIV-1 Tat protein basic region

<400> 28
Arg Lys Lys Arg Arg Gln Arg Arg Arg
1 5

<210> 29
<211> 16
<212> PRT
<213> Artificial Sequence

<220>
<223> Antennapedia homeodomain region residues 43-58

<400> 29
Arg Gln Ile Lys Ile Trp Phe Gln Asn Arg Arg Met Lys Trp Lys Lys
1 5 10 15

<210> 30
<211> 16
<212> PRT
<213> Artificial Sequence

<220>
<223> Antennapedia homeodomain region residues 43-58

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = fluorescein conjugated aminohexanoic acid
(Fl-ahx)

<400> 30
Xaa Gln Ile Lys Ile Trp Phe Gln Asn Arg Arg Met Lys Trp Lys Lys
1 5 10 15

<210> 31
<211> 8
<212> PRT
<213> Artificial Sequence

<220>
<223> delivery enhancing transporter moiety
DTPA-aca-R7-CO2H

<221> MOD_RES
<222> (1)...(1)
<223> amino acaproic acid (aca) linked to
diethylenetriaminepentaacetic acid (DTPA)

<400> 31
Xaa Arg Arg Arg Arg Arg Arg Arg
1 5

<210> 32
<211> 8
<212> PRT
<213> Artificial Sequence

<220>
<223> delivery enhancing transporter moiety
NH-2-R-7CCONH-2.8TFA

<221> MOD_RES
<222> (8)...(8)
<223> Xaa = cysteinaminde

<400> 32
Arg Arg Arg Arg Arg Arg Arg Xaa
1 5

<210> 33
<211> 7
<212> PRT
<213> Artificial Sequence

<220>
<223> delivery enhancing transporter moiety

<221> MOD_RES
<222> (2)...(2)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (5)...(5)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<400> 33
Arg Xaa Arg Arg Xaa Arg Arg
1 5

<210> 34
<211> 10
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<220>
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<221> MOD_RES
<222> (2)...(2)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (5)...(5)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (8)...(8)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<400> 34
Arg Xaa Arg Arg Xaa Arg Arg Xaa Arg Arg
1 5 10

<210> 35
<211> 13
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<213> Artificial Sequence

<220>
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<221> MOD_RES
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<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (5)...(5)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (8)...(8)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (11)...(11)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<400> 35
Arg Xaa Arg Arg Xaa Arg Arg Xaa Arg Arg Xaa Arg Arg
1 5 10

<210> 36
<211> 16
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<220>
<223> delivery enhancing transporter moiety

<221> MOD_RES
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<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (5)...(5)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
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<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (11)...(11)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (14)...(14)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<400> 36
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1 5 10 15

<210> 37
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<220>
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<221> MOD_RES
<222> (2)...(2)
<223> Xaa = Gly or epsilon-amino caproic acid

<221> MOD_RES
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<223> Xaa = Gly or epsilon-amino caproic acid

<221> MOD_RES
<222> (8)...(8)
<223> Xaa = Gly or epsilon-amino caproic acid

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Arg Xaa Arg Arg Xaa Arg Arg Xaa Arg Arg
1 5 10

<210> 38
<211> 9
<212> PRT
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<220>
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<221> MOD_RES
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<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (4)...(4)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
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<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (8)...(8)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<400> 38
Arg Xaa Arg Xaa Arg Xaa Arg Xaa Arg
1 5

<210> 39
<211> 11
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<220>
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<221> MOD_RES
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<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
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<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
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<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (8)...(8)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (10)...(10)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<400> 39
Arg Xaa Arg Xaa Arg Xaa Arg Xaa Arg Xaa Arg
1 5 10

<210> 40
<211> 13
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<220>
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or epsilon-amino caproic acid

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<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
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or epsilon-amino caproic acid

<221> MOD_RES
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or epsilon-amino caproic acid

<221> MOD_RES
<222> (10)...(10)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (12)...(12)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

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Arg Xaa Arg Xaa Arg Xaa Arg Xaa Arg Xaa Arg Xaa Arg
1 5 10

<210> 41
<211> 15
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<213> Artificial Sequence

<220>
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<221> MOD_RES
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or epsilon-amino caproic acid

<221> MOD_RES
<222> (4)...(4)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (6)...(6)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
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<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (10)...(10)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
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<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (14)...(14)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

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Arg Xaa Arg Xaa Arg Xaa Arg Xaa Arg Xaa Arg Xaa Arg Xaa Arg
1 5 10 15

<210> 42
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<220>
<223> delivery enhancing transporter moiety

<221> MOD_RES
<222> (2)...(2)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (4)...(4)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
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<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
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<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
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<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (12)...(12)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
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<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (16)...(16)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

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1 5 10 15
Arg

<210> 43
<211> 19
<212> PRT
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<220>
<223> delivery enhancing transporter moiety

<221> MOD_RES
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<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (4)...(4)
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or epsilon-amino caproic acid

<221> MOD_RES
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<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (8)...(8)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (10)...(10)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (12)...(12)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (14)...(14)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (16)...(16)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (18)...(18)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<400> 43
Arg Xaa Arg Xaa Arg Xaa Arg Xaa Arg Xaa Arg Xaa Arg Xaa Arg Xaa
1 5 10 15
Arg Xaa Arg

<210> 44
<211> 21
<212> PRT
<213> Artificial Sequence

<220>
<223> delivery enhancing transporter moiety

<221> MOD_RES
<222> (2)...(2)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (4)...(4)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (6)...(6)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
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<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
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<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
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<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
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<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (16)...(16)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (18)...(18)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (20)...(20)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<400> 44
Arg Xaa Arg Xaa Arg Xaa Arg Xaa Arg Xaa Arg Xaa Arg Xaa Arg Xaa
1 5 10 15
Arg Xaa Arg Xaa Arg
20

<210> 45
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<220>
<223> delivery enhancing transporter moiety

<221> MOD_RES
<222> (2)...(2)
<223> Xaa = Gly or epsilon-amino caproic acid

<221> MOD_RES
<222> (4)...(4)
<223> Xaa = Gly or epsilon-amino caproic acid

<221> MOD_RES
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<223> Xaa = Gly or epsilon-amino caproic acid

<221> MOD_RES
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<223> Xaa = Gly or epsilon-amino caproic acid

<221> MOD_RES
<222> (10)...(10)
<223> Xaa = Gly or epsilon-amino caproic acid

<221> MOD_RES
<222> (12)...(12)
<223> Xaa = Gly or epsilon-amino caproic acid

<400> 45
Arg Xaa Arg Xaa Arg Xaa Arg Xaa Arg Xaa Arg Xaa Arg
1 5 10

<210> 46
<211> 13
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<213> Artificial Sequence

<220>
<223> delivery enhancing transporter moiety

<221> MOD_RES
<222> (2)...(3)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (5)...(6)
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or epsilon-amino caproic acid

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<210> 47
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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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1 5 10 15

<210> 48
<211> 19
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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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1 5 10 15
Xaa Xaa Arg

<210> 49
<211> 22
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or epsilon-amino caproic acid

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1 5 10 15
Xaa Xaa Arg Xaa Xaa Arg
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<210> 50
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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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1 5 10 15
Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa Arg
20 25

<210> 51
<211> 28
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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

<221> MOD_RES
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or epsilon-amino caproic acid

<400> 51
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1 5 10 15
Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa Arg
20 25

<210> 52
<211> 31
<212> PRT
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<220>
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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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1 5 10 15
Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa Arg
20 25 30

<210> 53
<211> 19
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1 5 10 15
Xaa Xaa Arg

<210> 54
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1 5 10 15
Arg

<210> 55
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1 5 10 15
Arg Xaa Xaa Xaa Arg
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<210> 56
<211> 25
<212> PRT
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Arg Xaa Xaa Xaa Arg Xaa Xaa Xaa Arg
20 25

<210> 57
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Arg Xaa Xaa Xaa Arg Xaa Xaa Xaa Arg Xaa Xaa Xaa Arg
20 25

<210> 58
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20 25 30
Arg

<210> 59
<211> 37
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20 25 30
Arg Xaa Xaa Xaa Arg
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20 25 30
Arg Xaa Xaa Xaa Arg Xaa Xaa Xaa Arg
35 40

<210> 61
<211> 25
<212> PRT
<213> Artificial Sequence

<220>
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Arg Gly Gly Gly Arg Gly Gly Gly Arg
20 25

<210> 62
<211> 33
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at positions 1-10 may be present or absent

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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at positions 24-33 may be present or absent

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Arg Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa
20 25 30
Xaa

<210> 63
<211> 36
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at positions 1-10 may be present or absent

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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at positions 27-36 may be present or absent

<400> 63

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Arg Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa Xaa Xaa Xaa Xaa
            20                  25                  30
Xaa Xaa Xaa Xaa
        35
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<210> 64
<211> 39
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<220>
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at positions 1-10 may be present or absent

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

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<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
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at positions 30-39 may be present or absent

<400> 64

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 1               5                  10                  15
Arg Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa Xaa
            20                  25                  30
Xaa Xaa Xaa Xaa Xaa Xaa Xaa
        35
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<210> 65
<211> 42
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<220>
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at positions 1-10 may be present or absent

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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or epsilon-amino caproic acid

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<400> 65

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Arg Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa Arg
            20                  25                  30
Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa
        35                  40
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<210> 66
<211> 45
<212> PRT
<213> Artificial Sequence

<220>
<223> delivery enhancing transporter moiety

<221> MOD_RES
<222> (1)...(10)
<223> Xaa = any natural or non-natural amino acid, Xaa
at positions 1-10 may be present or absent

<221> MOD_RES
<222> (12)...(13)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (15)...(16)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (18)...(19)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (21)...(22)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (24)...(25)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (27)...(28)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (30)...(31)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (33)...(34)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (36)...(45)
<223> Xaa = any natural or non-natural amino acid, Xaa
at positions 36-45 may be present or absent

<400> 66
Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa
1 5 10 15
Arg Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa Arg
20 25 30
Xaa Xaa Arg Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa
35 40 45

<210> 67
<211> 48
<212> PRT
<213> Artificial Sequence

<220>
<223> delivery enhancing transporter moiety

<221> MOD_RES
<222> (1)...(10)
<223> Xaa = any natural or non-natural amino acid, Xaa
at positions 1-10 may be present or absent

<221> MOD_RES
<222> (12)...(13)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (15)...(16)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (18)...(19)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (21)...(22)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (24)...(25)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (27)...(28)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (30)...(31)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (33)...(34)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (36)...(37)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (39)...(48)
<223> Xaa = any natural or non-natural amino acid, Xaa
at positions 39-48 may be present or absent

<400> 67

```
Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa
 1               5                  10                  15
Arg Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa Arg
            20                  25                  30
Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa
        35                  40                  45
```

<210> 68
<211> 51
<212> PRT
<213> Artificial Sequence

<220>
<223> delivery enhancing transporter moiety

<221> MOD_RES
<222> (1)...(10)
<223> Xaa = any natural or non-natural amino acid, Xaa
at positions 1-10 may be present or absent

<221> MOD_RES
<222> (12)...(13)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (15)...(16)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (18)...(19)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (21)...(22)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (24)...(25)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (27)...(28)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (30)...(31)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (33)...(34)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (36)...(37)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (39)...(40)
<223> Xaa = Gly, beta-alanine, gamma-amino butyric acid
or epsilon-amino caproic acid

<221> MOD_RES
<222> (42)...(51)
<223> Xaa = any natural or non-natural amino acid, Xaa
at positions 42-51 may be present or absent

<400> 68
Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa
1 5 10 15
Arg Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa Arg
20 25 30

```
Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa Arg Xaa Xaa Xaa Xaa Xaa Xaa Xaa
        35                  40                  45
Xaa Xaa Xaa
    50
```

<210> 69
<211> 10
<212> PRT
<213> Artificial Sequence

<220>
<223> delivery enhancing transporter moiety

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = N-acetyl cysteine

<221> MOD_RES
<222> (2)...(2)
<223> Xaa = aminocaproic acid

<221> MOD_RES
<222> (10)...(10)
<223> Xaa = argininamide

<400> 69

```
Xaa Xaa Arg Arg Arg Arg Arg Arg Arg Xaa
 1               5                  10
```

<210> 70
<211> 8
<212> PRT
<213> Artificial Sequence

<220>
<223> delivery enhancing transporter moiety conjugate

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = copper-diethylenetriaminepentaacetic acid complex (Cu-DTPA) linked to aminocaproic acid (aca)

<221> MOD_RES
<222> (8)...(8)
<223> Xaa = Arg bound to peptide synthesizer solid-phase resin

<400> 70

```
Xaa Arg Arg Arg Arg Arg Arg Xaa
 1               5
```

<210> 71
<211> 8
<212> PRT
<213> Artificial Sequence

<220>
<223> delivery enhancing transporter moiety conjugate

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = diethylenetriaminepentaacetic acid (DTPA) linked to aminocaproic acid (aca)

<400> 71
Xaa Arg Arg Arg Arg Arg Arg Arg
1 5

<210> 72
<211> 8
<212> PRT
<213> Artificial Sequence

<220>
<223> delivery enhancing transporter moiety conjugate

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = copper-diethylenetriaminepentaacetic acid complex (Cu-DTPA) linked to aminocaproic acid (aca)

<400> 72
Xaa Arg Arg Arg Arg Arg Arg Arg
1 5

<210> 73
<211> 11
<212> PRT
<213> Artificial Sequence

<220>
<223> delivery enhancing transporter moiety conjugate

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = biotinylated aminocaproic acid (aca)

<221> MOD_RES
<222> (11)...(11)
<223> Xaa = cysteinamide conjugated to hydrocortisone

<400> 73
Xaa Arg Arg Arg Arg Arg Arg Ala Ala Xaa
1 5 10

<210> 74
<211> 7
<212> PRT
<213> Artificial Sequence

<220>
<223> delivery enhancing transporter moiety conjugate

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = Arg conjugated to benzyl (Bz) and acetyl (Ac) protected C-2' derivative of taxol through benzyl-(para-hydroxy benzoate) carbonate

<400> 74
Xaa Arg Arg Arg Arg Arg Arg
1 5

<210> 75
<211> 7
<212> PRT
<213> Artificial Sequence

<220>
<223> delivery enhancing transporter moiety conjugate

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = Arg conjugated to benzyl (Bz) and acetyl (Ac) protected C-2' derivative of taxol through benzyl-(para-hydroxy benzoate) carbamate

<400> 75
Xaa Arg Arg Arg Arg Arg Arg
1 5

<210> 76
<211> 6
<212> PRT
<213> Artificial Sequence

<220>
<223> delivery enhancing transporter moiety conjugate

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = fluorescein isothiocyanate (FITC) labeled aminocaproic acid (aca)

<221> MOD_RES
<222> (6)...(6)
<223> Xaa = argininamide

<400> 76
Xaa Arg Arg Arg Arg Xaa
1 5

<210> 77
<211> 7
<212> PRT
<213> Artificial Sequence

<220>
<223> delivery enhancing transporter moiety conjugate

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = fluorescein isothiocyanate (FITC) labeled aminocaproic acid (aca)

<221> MOD_RES
<222> (7)...(7)
<223> Xaa = argininamide

<400> 77
Xaa Arg Arg Arg Arg Arg Xaa
1 5

<210> 78
<211> 8
<212> PRT
<213> Artificial Sequence

<220>
<223> delivery enhancing transporter moiety conjugate

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = fluorescein isothiocyanate (FITC) labeled
aminocaproic acid (aca)

<221> MOD_RES
<222> (8)...(8)
<223> Xaa = argininamide

<400> 78
Xaa Arg Arg Arg Arg Arg Arg Xaa
1 5

<210> 79
<211> 9
<212> PRT
<213> Artificial Sequence

<220>
<223> delivery enhancing transporter moiety conjugate

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = fluorescein isothiocyanate (FITC) labeled
aminocaproic acid (aca)

<221> MOD_RES
<222> (9)...(9)
<223> Xaa = argininamide

<400> 79
Xaa Arg Arg Arg Arg Arg Arg Arg Xaa
1 5

<210> 80
<211> 10
<212> PRT
<213> Artificial Sequence

<220>
<223> delivery enhancing transporter moiety conjugate

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = fluorescein isothiocyanate (FITC) labeled
aminocaproic acid (aca)

<221> MOD_RES
<222> (10)...(10)
<223> Xaa = argininamide

<400> 80
Xaa Arg Arg Arg Arg Arg Arg Arg Arg Xaa
1 5 10

<210> 81
<211> 8
<212> PRT
<213> Artificial Sequence

<220>
<223> delivery enhancing transporter moiety conjugate

<221> MOD_RES
<222> (8)...(8)
<223> Xaa = 6-maleimidocaproic hydrazone derivative of FK506 conjugated to Cys

<400> 81
Arg Arg Arg Arg Arg Arg Arg Xaa
1 5

<210> 82
<211> 8
<212> PRT
<213> Artificial Sequence

<220>
<223> delivery enhancing transporter moiety conjugate

<221> MOD_RES
<222> (8)...(8)
<223> Xaa = dithioethyl hydrazone derivative of FK506 conjugated to Cys

<400> 82
Arg Arg Arg Arg Arg Arg Arg Xaa
1 5

<210> 83
<211> 7
<212> PRT
<213> Artificial Sequence

<220>
<223> delivery enhancing transporter moiety conjugate

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = biotinylated aminocaproic acid (aca)

<221> MOD_RES
<222> (7)...(7)
<223> Xaa = cysteinamide

<400> 83
Xaa Arg Arg Arg Arg Arg Xaa
1 5

<210> 84
<211> 9
<212> PRT
<213> Artificial Sequence

<220>
<223> delivery enhancing transporter moiety conjugate

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = biotinylated aminocaproic acid (aca)

<221> MOD_RES
<222> (9)...(9)
<223> Xaa = cysteinamide

<400> 84
Xaa Arg Arg Arg Arg Arg Arg Arg Xaa
1 5

<210> 85
<211> 11
<212> PRT
<213> Artificial Sequence

<220>
<223> delivery enhancing transporter moiety conjugate

<221> MOD_RES
<222> (1)...(1)
<223> Xaa = biotinylated aminocaproic acid (aca)

<221> MOD_RES
<222> (11)...(11)
<223> Xaa = cysteinamide

<400> 85
Xaa Arg Arg Arg Arg Arg Arg Arg Arg Xaa
1 5 10

<210> 86
<211> 25
<212> PRT
<213> Artificial Sequence

<220>
<223> Description of Artificial
Sequence:delivery-enhancing transporter polymer of
poly-arginine molecules between 6 and 25 residues
in length

<220>
<221> MOD_RES
<222> (7)..(25)
<223> Arg at positions 7-25 may be present or absent

<400> 86
Arg Arg Arg Arg Arg Arg Arg Arg Arg Arg Arg Arg Arg Arg Arg
1 5 10 15
Arg Arg Arg Arg Arg Arg Arg Arg Arg Arg
20 25